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                 changes
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                 Pharmaceutical Substances (PS) now available on STN
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                 WPIFV now available on STN
NEWS 11
         MAR 29
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NEWS 12
         APR 26
                 PROMT: New display field available
NEWS 13
                 IFIPAT/IFIUDB/IFICDB: New super search and display field
         APR 26
                 available
NEWS 14
         APR 26
                 LITALERT now available on STN
                 NLDB: New search and display fields available
NEWS 15
         APR 27
NEWS 16
         May 10
                 PROUSDDR now available on STN
NEWS 17
                 PROUSDDR: One FREE connect hour, per account, in both May
         May 19
                 and June 2004
NEWS 18
         May 12
                 EXTEND option available in structure searching
NEWS 19
         May 12
                 Polymer links for the POLYLINK command completed in REGISTRY
                 FRFULL now available on STN
NEWS 20
         May 17
NEWS 21
                 STN User Update to be held June 7 and June 8 at the SLA 2004
         May 27
                 Conference
NEWS 22
         May 27
                 New UPM (Update Code Maximum) field for more efficient patent
                 SDIs in CAplus
                 CAplus super roles and document types searchable in REGISTRY
NEWS 23
         May 27
NEWS 24
         May 27
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              MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT
NEWS EXPRESS
              MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 26 APRIL 2004
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=> file registry
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

COST IN U.S. DOLLARS
FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 27 MAY 2004 HIGHEST RN 686710-55-4 DICTIONARY FILE UPDATES: 27 MAY 2004 HIGHEST RN 686710-55-4

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

Uploading C:\Stnexp4 corrupted\QUERIES\10627991.str

Chain nodes :

13 14 15 16 17 18 19 20 22 23 24 25 26 27

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12

chain bonds :

6-13 12-23 13-14 14-15 14-25 15-16 15-17 15-19 17-18 18-22 18-23 19-20

23-24 25-26 25-27

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 5-6 14-25 15-16 15-19

exact bonds :

6-13 12-23 13-14 14-15 15-17 17-18 18-22 18-23 19-20 23-24 25-26 25-27

normalized bonds :

7-8 7-12 8-9 9-10 10-11 11-12

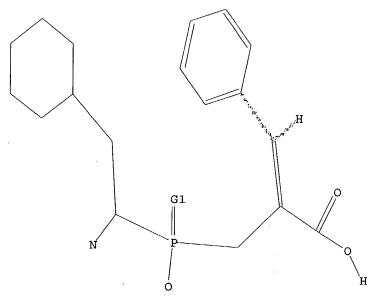
G1:0,S

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS

L1 STRUCTURE UPLOADED

=> d l1 L1 HAS NO ANSWERS L1 STR



G1 0, S

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 16:16:22 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 3 TO ITERATE

100.0% PROCESSED

3 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:

ONLINE **COMPLETE**

BATCH

COMPLETE

PROJECTED ITERATIONS:

3 TO 163 0 TO

PROJECTED ANSWERS:

=> s 11, ful

L2

L3

FULL SEARCH INITIATED 16:16:27 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED -46 TO ITERATE

0 SEA SSS SAM L1

100.0% PROCESSED

46 ITERATIONS

21 ANSWERS

SEARCH TIME: 00.00.01

21 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

155.42

155.63

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10627991

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FILE COVERS 1907 - 28 May 2004 VOL 140 ISS 23 FILE LAST UPDATED: 27 May 2004 (20040527/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13 L4 2 L3

=> d abs bib hitstr 1-2

```
ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
Aminophosphinic acid derivs. were synthesized as potential inhibitors of
renal dispeptidase, an enzyme overexpressed in benign and malignant colon
tumors. Compds. ever synthesized using the Witting-Borner reaction.
Several compds. showed potent and reversible enzyme-inhibitory activity.
These stable mols. with tetrahedral phosphorus species mimic the
tetrahedral intermediate of the reaction catalyzed by renal dispeptidase.
These compds. can be used therapeutically and diagnostically for
stment
                   These compds. can be used therapeutically and diagnostically for atment and detection of tumors.
2004:100920 CAPLUS
140:141702
Design and synthesis of aminophosphinic acid derivatives as renal dispertidance inhibitors and antitumor agents
Khan, Saeed R.; Vogelstein, Bert; Kinzler, Kenneth W.; Gurulingappa, Hallur; Buckhaulte, Phillip
The Johns Hopkins School of Medicine, USA
PCT Int. Appl., 28 pp.
CODEN: PIXXD2
Patent
English
CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE
(Uses)
(design and synthesis of aminophosphinic acid derivs. as renal dipeptidase inhibitors and antitumor agents)
RN 533935-35-2 CAPLUS
CN 2-Propencic acid,
2-{[(1-amino-2-cyclohexylethyl}hydroxyphosphinyl]methyl}-
```

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

RN 653572-06-6 CAPLUS
CN 2-Propenoic acid,
2-[[[1-amino-2-cyclohexylethyl]]hydroxyphosphinyl]methyl]
3-[4-fluorophenyl]-, (2Z)- (9CI) (CA INDEX NAME)

RN 653572-07-7 CAPLUS
CN 2-Propenoic acid,
2-[[[1-amno-2-cyclohexylethyl]hydroxyphosphinyl]methyl]3-[4-fluorophenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown

RN 653572-08-8 CAPLUS
CN 2-Propenoic acid,
2-[[(1-amino-2-cyclohexylethyl])hydroxyphosphinyl]methyl]3-[4-bromophenyl]-, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

10627991

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN 3-phenyl-, (2Z)- (9CI) (CA INDEX NAME) (Continued)

Double bond geometry as shown

RN 533935-36-3 CAPLUS CN 2-Propenoic acid, 2-[[[1-amino-2-cyclohexylethyl]hydroxyphosphinyl]methyl]-3-phenyl-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 533935-37-4 CAPLUS
CN 2-Propenoic acid,
2-[[[1-amino-2-cyclohexy|ethyl]]hydroxyphosphinyl]methyl]3-[4-iodophenyl]-, [22]- [9CI] (CA INDEX NAME)

RN 533935-38-5 CAPLUS
CN 2-Propenoic acid,
2-[(1-amino-2-cyclohexylethyl)hydroxyphoaphinyl]methyl]3-(4-iodophenyl). (2E)- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 653572-09-9 CAPLUS
CN 2-Propenoic acid,
2-[(1-amino-2-cyclohexylethyl)hydroxyphosphinyl]methyl}3-(3-iodophenyl)-, (22)- (9C1) (CA INDEX NAME)

Double bond geometry as shown.

653572-10-2 CAPLUS
2 Propenoic acid,
-[[(1-amino-2-cyclohexylethyl]hydroxyphosphinyl]methyl]3-(3-iodophenyl]-, (2E)- (9CI) (CA INDEX NAME)

RN 653572-11-3 CAPLUS
CN 2-Propenoic acid,
2-[[[1-amino-2-cyclohexylethyl]]hydroxyphoaphinyl]methyl]3-[2-iodophenyl]-, [2Z]- [9CI] (CA INDEX NAME)

Double bond geometry as shown.

RN 653572-12-4 CAPLUS
CN 2-Propenoic acid,
2-[{[1-amino-2-cyclohexylethyl]hydroxyphosphinyl]methyl]3-(2-iodophenyl)-, (2E)- (9CI) (CA INDEX NAME)

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

RN 653572-13-5 CAPLUS
CN 2-Propenoic acid,
2-[[(1-amino-2-cyclohexylethyl)hydroxyphoaphinyl]methyl]3-[4-(trifluoromethyl)phenyl]-, (22)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 653572-14-6 CAPLUS
CN 2-Propenoic acid,
2-{[{1-amino-2-cyclohexylethyl}hydroxyphosphinyl]methyl}3-{4-(trifluoromethyl)phenyl}-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 653572-15-7 CAPLUS
CN 2-Propenoic acid,
2-[(1-amino-2-cyclohexylethyl)hydroxyphosphinyl]methyl]3-(3,4-dichlorophenyl)-, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 653572-19-1 CAPLUS
CN 2-Propenoic acid,
2-[([1-amino-2-cyclohexylethyl)hydroxyphosphinyl]methyl]3-(3-bromo-4-fluorophenyl)-, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 653572-20-4 CAPLUS
CN 2-Propenoic acid,
2-[{1-amino-2-cyclohexylethyl}hydroxyphosphinyl]methyl}3-(3-bromo-4-fluorophenyl)-, (2E)- {9CI) (CA INDEX NAME)

RN 653572-21-5 CAPLUS
CN 2-Propenoic acid,
2-[[[1-amino-2-cyclohexylethyl]hydroxyphosphinyl]methyl]3-[4-(diethylamino)phenyl]-, (22)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

RN 653572-16-8 CAPLUS
CN 2-Propenoic acid,
2-{{(1-amino-2-cyclohexylethyl)hydroxyphosphinyl]methyl}3-{3,4-dichlorophenyl}-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown

RN 653572-17-9 CAPLUS
CN 2-Propenoic acid,
2-[[[1-amino-2-cyclohexylethyl]hydroxyphosphinyl]methyl]3-(3-chloro-4-fluorophenyl)-, (22)- [9CI] (CA INDEX NAME)

Double bond geometry as shown.

RN 653572-18-0 CAPLUS
CN 2-Propenoic acid,
2-[{[(1-amino-2-cyclohexylethyl)hydroxyphosphinyl]methyl]3-(3-chloro-4-fluorophenyl)-, (2E)- (9Cl) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

(Continued)

RN 653572-22-6 CAPLUS
CN 2-Propenoic acid,
2-[[[1-amino-2-cyclohexylethyl]hydroxyphosphinyl]methyl]3-[4-(diethylamino)phenyl]-, (2E)- (9CI) (CA INDEX NAME)

ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
Aminophosphinic acid derivs. were synthesized as potential inhibitors of
renal dipeptidase (RDP), an enzyme over-expressed in benign and malignant
colon tumors, and several compds. showed potent enzyme-inhibitory
activity. In an example reaction,
[BOCNH/CGHILCH2]CH] P(0) (OMe) [CINZC(C
02Me):CHPh] was prepared in two steps from [BOCNH/CGHILCH2)CH]P(0) (OMe)H O2Me):CHPh] was prepared in two steps from [BocNH(CGH11CH2)CH]P(O) (OMe)H and hydrolyzed to (E)-(NH2(CGH11CH2)CH]P(O) (OH) [CH2C(CO2H):CHPh].

AN 2003:114414 CAFUJS
DN 139:6950
TI Design, synthesis and evaluation of new RDP inhibitors
Gurulingappa, Hallur; Buckhaults, Phillip; Kumar, Srinivas K.; Kinzler, Kenneth W.; Vogelatein, Bert; Khan, Saeed R.
CS The Sidney Kimmel Comprehensive Cancer Center at Johns Hopkins, Baltimore,
MD, 21231, USA
OT etrahedron Letters (2003), 44(9), 1871-1873
CODEN: TELEAY; ISSN: 0040-4039
BE Servier Science Ltd.
DT Journal
LA English
OS CASRRACT 139:6950
TS 533935-36-29 S33995-36-39 S33995-37-49
S33935-36-29 S33995-36-39 S33995-37-49
SIDLO (Sicological study, unclassified); SFN (Synthetic preparation); BIOL (Sicological study); PREP (Preparation)
(RDP inhibition activity; stereoselective preparation and RDP inhibition (RDP inhibition activity, section inhibition activity of aminophosphinic acid deriva.)

RN 533935-35-2 CAPLUS
CN 2-Propencia edit
2-[(1-amino-2-cyclohexylethyl)hydroxyphosphinyl]methyl]3-phenyl-, (22)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 533935-36-3 CAPLUS
CN 2-Propenoic acid,
2-[[(1-amino-2-cyclohexylethyl)hydroxyphosphinyl]methyl]3-phenyl-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN

RN 533935-37-4 CAPLUS
CN 2-Propenoic acid,
2-{[(1-amino-2-cyclohexylethyl]hydroxyphoaphinyl]methyl]3-(4-iodophenyl)-, (2Z)- (9CI) (CA INDEX NAME)

RN 533935-38-5 CAPLUS
CN 2-Propenoic acid,
2-[(1-amino-2-cyclohexylethyl)hydroxyphosphinyl|methyl]3-(4-iodophenyl)-, (2E)- (9CI) (CA INDEX NAME)

THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT RE.CNT 14

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ENTRY

TOTAL

SESSION

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NEWS
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NEWS
         May 12
                 Polymer links for the POLYLINK command completed in REGISTRY
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         May 27
                 New UPM (Update Code Maximum) field for more efficient patent
                 SDIs in CAplus
NEWS
         May 27
                 CAplus super roles and document types searchable in REGISTRY
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         Jun 28
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         Jun 28
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                 and WATER from CSA now available on STN(R)
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                 BEILSTEIN enhanced with new display and select options,
                 resulting in a closer connection to BABS
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                 with the 228th ACS National Meeting
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                 fields
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         AUG 02
                 CAplus and CA patent records enhanced with European and Japan
                 Patent Office Classifications
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         AUG 02
                 STN User Update to be held August 22 in conjunction with the
                 228th ACS National Meeting
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         AUG 02
                 The Analysis Edition of STN Express with Discover!
                 (Version 7.01 for Windows) now available
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         AUG 04
                 Pricing for the Save Answers for SciFinder Wizard within
                 STN Express with Discover! will change September 1, 2004
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                 BIOCOMMERCE: Changes and enhancements to content coverage
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         AUG 27
                 BIOTECHABS/BIOTECHDS: Two new display fields added for legal
                 status data from INPADOC
         SEP 01
NEWS 18
                 INPADOC: New family current-awareness alert (SDI) available
NEWS 19
         SEP 01
                 New pricing for the Save Answers for SciFinder Wizard within
                 STN Express with Discover!
NEWS 20
         SEP 01
                 New display format, HITSTR, available in WPIDS/WPINDEX/WPIX
NEWS 21
         SEP 14
                 STN Patent Forum to be held October 13, 2004, in Iselin, NJ
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NEWS EXPRESS JULY 30 CURRENT WINDOWS VERSION IS V7.01, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004

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=> file registry
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 14 SEP 2004 HIGHEST RN 744786-72-9 DICTIONARY FILE UPDATES: 14 SEP 2004 HIGHEST RN 744786-72-9

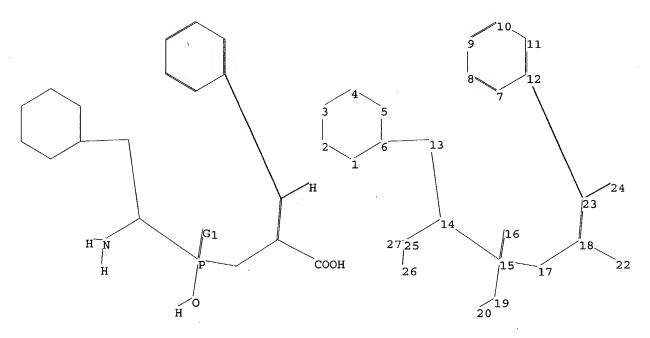
TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

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Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

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chain nodes :
13 14 15 16 17 18 19 20 22 23 24 25 26 27
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12
chain bonds :
6-13 12-23 13-14 14-15 14-25 15-16 15-17 15-19 17-18 18-22 18-23 19-20
23-24 25-26 25-27
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 14-25 15-16 15-19
exact bonds :
6-13 12-23 13-14 14-15 15-17 17-18 18-22 18-23 19-20 23-24 25-26 25-27
normalized bonds :
7-8 7-12 8-9 9-10 10-11 11-12

G1:0,S

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS

L1 STRUCTURE UPLOADED

=> d l1 L1 HAS NO ANSWERS L1 STR * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 11:06:21 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 3 TO ITERATE

100.0% PROCESSED

3 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:

ONLINE **COMPLETE**

BATCH

COMPLETE

PROJECTED ITERATIONS:

3 TO 163

PROJECTED ANSWERS:

0 TO 0

L2

0 SEA SSS SAM L1

=> s l1 ful

FULL SEARCH INITIATED 11:06:25 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 47 TO ITERATE

100.0% PROCESSED

47 ITERATIONS

22 ANSWERS

SEARCH TIME: 00.00.01

L3

22 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY 155.42

SESSION 155.63

FULL ESTIMATED COST

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L4

3 L3

=> d abs bib hitstr 1-3

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
AB Renal dipeptidase (RDP) is an enzyme overexpressed in benign and malignant nant colorectal tumors. In an effort to identify potent inhibitors of this enzyme, aminophosphinic acid derivs. were synthesized. CGH11CH2CH(NH2)P(0) (OH)CID2(CO2H):CHC6H2R1R2R3 (e.g., R1 = R2 = H, R3 = 4-F, 3a and 4-Br 3c) in which the Ph ring was para substituted with P and Br and olefin with Z geometry, showed better inhibitory activity against RDP enzyme (ICSO = 5-6 nM). 2004:465506 CAPLUS 141:157215 Synthesis and evaluation of aminophosphinic acid derivatives as vitors

of rens! dipeptidase

Gurulingappa, Hallur; Buckhalts, Phillip; Kinzler, Kenneth W.; Ovgelatein, Saed R. Bert: Khan, Saed R. CS The Sidney Kimmel Comprehensive Cancer Center at Johns Hopkins, In Sidney Almmel Comprehensive Cancer Center at Johns Hopkins, Baltimore, MD. 21231, USA SO Bloorganic & Medicinal Chemistry Letters (2004). 14(13), 3531-3533 CODEN: BMCLES; ISSN: 0960-894X PB Elsevier Science B.V. Journal Journal
English
533935-37-4P 533935-38-5P 653572-06-6P
653572-07-P 653572-08-8P 653572-09-9P
653572-10-2P 653572-11-3P 653572-12-4P
653572-13-5P 653572-14-6P 653572-15-7P
653572-18-0P 653572-19-7-9P 653572-18-0P
653572-19-1P 653572-20-4P 653572-21-5P
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653572-19-1P 653572-20-4P (53572-21-5P)
653572-20-4P (53572-20-4P)
(63572-20-4P)
(63572-20 (preparation of aminophosphinic acid derivs. as renal dipeptidase inhibitors

Double bond geometry as shown.

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN Double bond geometry as shown. (Continued)

RN 653572-09-9 CAPLUS
CN 2-Propenoic acid,
2-[[(1-amino-2-cyclohexylethyl)hydroxyphosphinyl]methyl]3-(3-iodophenyl)-, (22)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 653572-10-2 CAPLUS
CN 2-Propenoic acid,
2-[(1-amino-2-cyclohexylethyl)hydroxyphosphinyl]methyl]3-(3-iodophenyl)-, (2E)- (9CI) (CA_INDEX_NAME)

Double bond geometry as shown.

CAPLUS

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L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS ON STN RN 533935-38-5 CAPLUS CN 2-Propenoic acid, 2-[[[1-amino-2-cyclohexylethyl]hydroxyphosphinyl]methyl] 3-(4-iodophenyl)-, (2E)- (9CI) (CA INDEX NAME) (Continued)

Double bond geometry as shown.

RN 653572-06-6 CAPLUS CN 2-Propenoic acid, 2-[[(1-amino-2-cyclohexylethyl]hydroxyphoaphinyl]methyl]-3-(4-fluorophenyl)-, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 653572-07-7 CAPLUS
CN 2-Propenoic acid,
2-[{[1-amino-2-cyclohexylethyl]hydroxyphosphinyl]methyl]3-{4-fluorophenyl}-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

653572-08-8 CAPLUS

CN 2-Propenoic acid, 2-[(1-amino-2-cyclohexylethyl)hydroxyphosphinyl]methyl]-3-(4-bromophenyl)-, (22)- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

RN 653572-12-4 CAPLUS
CN 2-Propenoic acid,
2-[[[1-amino-2-cyclohexylethyl]hydroxyphosphinyl]methyl]3-[2-iodophenyl]-, {2E}- {9CI} (CA INDEX NAME)

Double bond geometry as shown.

RN 653572-13-5 CAPLUS
CN 2-Propenoic acid,
2-[[[1-amino-2-cyclohexylethyl]hydroxyphosphinyl]methyl]3-[4-{trifluoromethyl]phenyl]-, (2Z)- (9Cl) (CA INDEX NAME)

Double bond geometry as shown.

RN 653572-14-6 CAPLUS
CN 2-Propenoic acid,
2-[[[1-amino-2-cyclohexylethyl]hydroxyphosphinyl]methyl]3-[4-(trifluoromethyl)phenyl]-, (2E)- (9Cl) (CA INDEX NAME)

Double bond geometry as shown.

RN 653572-15-7 CAPLUS
CN 2-Propenoic acid,
2-[([1-amino-2-cyclohexylethyl])hydroxyphosphinyl]methyl}3-[3,4-dichlorophenyl]-, (2Z)- [9CI] (CA INDEX NAME)

ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 653572-16-8 CAPLUS
CN 2-Propenoic acid,
2-{[[1-amino-2-cyclohexylethyl]hydroxyphosphinyl]methyl}3-[3,4-dichlorophenyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 653572-17-9 CAPLUS CN 2-Propencia caid, 2-[[[1-amino-2-cyclohexylethyl]hydroxyphosphinyl]methyl]-3-{3-chloro-4-fluorophenyl}-, (22)- {9Cl} (CA INDEX NAME)

$$\begin{array}{c|c} & \text{HO} & \text{HO}_2\text{C} \\ & & \\ & \text{NH}_2 & \\ & & \text{C1} \end{array}$$

RN 653572-18-0 CAPLUS
CN 2-Propenoic acid,
2-[[[1-anio-2-cyclohexylethyl]hydroxyphosphinyl]methyl]3-[3-chloro-4-fluorophenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 653572-22-6 CAPLUS
CN 2-Própenoic acid,
2-[[(1-amino-2-cyclohexylethyl)hydroxyphosphinyl]methyl]3-[4-(diethylamino)phenyll-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 728032-33-5 CAPLUS CN 2-Propenoic acid, 2-[[(1-amino-2-cyclohexylethyl)hydroxyphoaphinyl]methyl}-3-(4-bromophenyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT RE.CNT 18

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

RN 653572-19-1 CAPLUS
CN 2-Propenoic acid,
2-[([1-amino-2-cyclohexylethyl]hydroxyphosphinyl]methyl]3-(3-bromo-4-fluorophenyl)-, (22)- (9Cl) (CA INDEX NAME)

Double bond geometry as shown.

RN 653572-20-4 CAPLUS
CN 2-Propenoic acid,
2-{[(1-amino-2-cyclohexylethyl)hydroxyphosphinyl]methyl}3-(3-bromo-4-fluorophenyl)-, {2E}- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 653572-21-5 CAPLUS
CN 2-Propenoic acid,
2-[[(1-amino-2-cyclohexylethyl)hydroxyphoaphinyl]methyl]3-[4-(diethylamino)phenyl]-, (22)- (9Cl) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
Aminophosphinic acid derivs. were synthesized as potential inhibitors of renal dipeptidase, an enzyme overexpressed in benign and malignant colon tumors. Compda. wee synthesized using the Witting-Horner reaction. Several compds. showed potent and reversible enzyme-inhibitory activity. These stable mols. with tetrahedral phosphorus species mimic the tetrahedral intermediate of the reaction catalyzed by renal dipeptidase. These compds. can be used therapeutically and diagnostically for tumot

These compds. can be used therapeutically and diagnostically for treatment and detection of tumors.

AN 2004:100930 CAPLUS DN 140:141702

TI Design and synthesis of aminophosphinic acid derivatives as renal dispetidase inhibitors and antitumor agents

IN Khan, Saeed R.; Vogelstein, Bert; Kinzler, Kenneth W.; Gurulingappa, Hallur; Buckhaults, Phillip

PA The Johns Hopkins School of Medicine, USA

50 PCT Int. Appl., 28 pp. CODEN: PIXXD2

DT Patent

DE Patent

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

Double bond geometry as shown.

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L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

RN 533935-36-3 CAPLUS
CN 2-Propencic acid,
2-[[(1-amino-2-cyclohexylethyl)hydroxyphosphinyl]methyl]3-phenyl-, (2E)- {9CI} (CA INDEX NAME)

Double bond geometry as shown.

RN 533935-37-4 CAPLUS
CN 2-Propenoic acid,
2-{[(1-amino-2-cyclohexylethyl]hydroxyphosphinyl]methyl]3-(4-iodophenyl)-, (22)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 533935-38-5 CAPLUS
CN 2-Propenoic acid,
2-{[[1-amino-2-cyclohexylethyl]hydroxyphosphinyl]methyl]3-(4-iodophenyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

RN 653572-09-9 CAPLUS
CN 2-Propenoic acid,
2-[[(1-amino-2-cyclohexylethyl)hydroxyphosphinyl]methyl]3-(3-iodophenyl)-, (22)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 653572-10-2 CAPLUS
CN 2-Propenoic acid,
2-[[(1-amino-2-cyclohexylethyl)hydroxyphoaphinyl]methyl]3-(3-iodophenyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 653572-11-3 CAPLUS
CN 2-Propenoic acid,
2-[[(1-amino-2-cyclohexylethyl)hydroxyphosphinyl]methyl]3-(2-iodophenyl)-, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

RN 653572-06-6 CAPLUS CN 2-Propenoic acid, 2-[[[1-amino-2-cyclohexylethyl]hydroxyphoaphinyl]methyl]-3-[4-fluorophenyl]-, (2Z)- (9CI) (CA INDEX NAME)

RN 653572-07-7 CAPLUS
CN 2-Propenoic acid,
2-[[(1-amino-2-cyclohexylethyl)hydroxyphosphinyl]methyl]3-(4-fluorophenyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 653572-08-8 CAPLUS CN 2-Propenoic acid, 2-[[(1-amino-2-cyclohexylethyl]hydroxyphosphinyl]methyl]-3-(4-bromophenyl)-, (22)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN RN 653572-12-4 CAPLUS .

CN 2-Propenoic acid, 2-[{[1-amino-2-cyclohexylethyl]hydroxyphosphinyl]methyl]-3-(2-iodophenyl)-, (2E)-(9CI) (CA INDEX NAME)

(Continued)

RN 653572-13-5 CAPLUS
CN 2-Propenoic acid,
2-[[[1-amino-2-cyclohexylethyl]hydroxyphosphinyl]methyl]3-[4-{trifluoromethyl}phenyl]-, (2Z)- (9Cl) (CA INDEX NAME)

Double bond geometry as shown.

RN 653572-14-6 CAPLUS
CN 2-Propenoic acid,
2-[[[1-amino-2-cyclohexylethyl]hydroxyphosphinyl]methyl]3-[4-(trifluoromethyl)phenyl]-, (2E)- [9CI] (CA INDEX NAME)

Double bond geometry as shown.

RN 653572-15-7 CAPLUS
CN 2-Propenoic acid, .
2-[([1-amino-2-cyclohexylethyl)hydroxyphosphinyl]methyl]3-(3,4-dichlorophenyl)-, (2Z)- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 653572-16-8 CAPLUS
CN 2-Propenoic acid,
2-[([1-amino-2-cyclohexylethyl)hydroxyphosphinyl]methyl]3-[3,4-dichlorophenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 653572-17-9 CAPLUS
CN 2-Propenoic acid,
2-[[[1-amino-2-cyclohexylethyl]hydroxyphoaphinyl]methyl]3-(3-chloro-4-fluorophenyl)-, (22)- (9CI) (CA INDEX NAME)

RN 653572-18-0 CAPLUS
CN 2-Propenoic acid,
2-[[(1-amino-2-cyclohexylethyl)hydroxyphosphinyl]methyl]3-{3-chloro-4-fluorophenyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 653572-22-6 CAPLUS
CN 2-Propenoic acid,
2-[[[1-amino-2-cyclohexylethyl]hydroxyphosphinyl]methyl]3-[4-(diethylamino)phenyl]-, (2E)- (9CI) (CA INDEX NAME)

ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

RN 653572-19-1 CAPLUS
CN 2-Propenoic acid,
2-{{(1-amino-2-cyclohexylethyl}hydroxyphosphinyl]methyl}3-{3-bromo-4-fluorophenyl}-, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 653572-20-4 CAPLUS
CN 2-Propenoic acid,
2-[[[1-amino-2-cyclohexylethyl]hydroxyphosphinyl]methyl]3-[3-bromo-4-fluorophenyl]-, (2E)- (9CI) (CA INDEX NAME)

RN 653572-21-5 CAPLUS
CN 2-Propenoic acid,
2-[[[1-amino-2-cyclohexylethyl]hydroxyphosphinyl]methyl]3-[4-(diethylamino)phenyl]-, (22)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN
Aminophosphinic acid derivs. were synthesized as potential inhibitors of
renal dipeptidase (RDP), an enzyme over-expressed in benign and malignant
colon tumors, and several compds. showed potent enzyme-inhibitory
activity. In an example reaction,
[BocNH(CSHICH2)CH] P(O) (OMP) [CH2C (C
02Me):CHPh] was prepared in two steps from [BocNH(CSHI1CH2)CH] P(O) (OMP) H

and

hydrolyzed to (E)-[NH2(C6H11CH2)CH]P(O)(OH)[CH2C(CO2H):CHPh]. 2003:114414 CAPLUS

103:6950
Design, synthesis and evaluation of new RDP inhibitors
Gurulingappa, Hallur; Buckhaults, Phillip; Kumar, Srinivas K.; Kinzler,
Kenneth W.; Vogelatein, Bert; Khan, Saeed R.
The Sidney Kimmel Comprehensive Cancer Center at Johns Hopkins,

Double bond geometry as shown.

RN 533935-36-3 CAPLUS CN 2-Propenoic acid, 2-[[(1-amino-2-cyclohexylethyl)hydroxyphoaphinyl]methyl]-3-phenyl-, (2E)- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 533935-37-4 CAPLUS
CN 2-Propenoic acid,
2-[[(1-amino-2-cyclohexylethyl)hydroxyphoephinyl]methyl]3-(4-iodophenyl)-, (22)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 533935-38-5 CAPLUS
CN 2-Propenoic acid,
2-[[(1-amino-2-cyclohexylethyl)hydroxyphosphinyl]methyl]3-(4-iodophenyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FILE 'USPATFULL' ENTERED AT 11:07:48 ON 16 SEP 2004

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FILE 'USPAT2' ENTERED AT 11:07:48 ON 16 SEP 2004

CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

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ANSWER 1 OF 1 USPATFULL on STN
Aminophosphinic acid derivatives were synthesized as potential inhibitors of renal dispetidace, an enzyme overexpressed in benign and malignant colon tumors. Several compounds showed potent enzyme-inhibitory activity. These compounds can be used therapeutically and diagnostically for treatment and detection of tumors.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AN 2004:120017 USPATFULL.
TI Design and synthesis of renal dispetidace inhibitors
Kinal Saced R., Owings Mille, MD, UNITED STATES
Vogelstein, Bert, Baltimore, MD, UNITED STATES
Uvogelstein, Bert, Baltimore, MD, UNITED STATES
Gurulingappa, Hallur, Baltimore, MD, UNITED STATES
Gurulingappa, Hallur, Daltimore, MD, UNITED STATES
Gurulingappa, Hallur, Daltimore, MD, UNITED STATES
Buckhaults, Phillip, Columbia, SC, UNITED STATES
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